


PRODUCTION OF (6R)-TETRAHYDRO-L-BIOPTERIN HYDROCHLORIDE

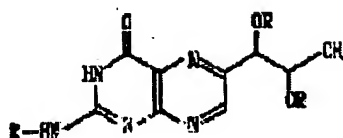
Patent number: JP9157270
Publication date: 1997-06-17
Inventor: SAKAI HIDEAKI; KANAI SADA
Applicant: SHIRATORI SEIYAKU KK;; SUNTORY LTD
Classification:
- International: C07D475/04; B01J23/42
- european:
Application number: JP19960164213 19960625
Priority number(s):

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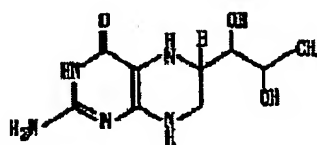
 J P9157270 (A)**Abstract of JP9157270**

PROBLEM TO BE SOLVED: To obtain tetrahydrobiopterin hydrochloride useful for medicines, etc., in a high asymmetric synthesis degree in a high purity and in a high yield by catalytically reducing erythrobiopterin, etc., in the presence of an amine compound and platinum black as a catalyst in a prescribed alkaline pH region.

SOLUTION: L-Erythrobiopterin or its acyl derivative represented by formula I (R is H, an acyl) is catalytically reduced in the presence of an amine compound and platinum black as a catalyst in water and/or an alcoholic solvent at a pH of 10-13 at a temperature of ≤ 20 deg.C under a hydrogen gas pressure of ≥ 20 kg/cm². When the product has the acyl group, the acyl group is further removed. Thus, (6R)-tetrahydro-L-biopterin hydrochloride of formula II is obtained.



I



II

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1',2'-Diacyl-(6R,S)-5,6,7,8-tetrahydro-L-biopterin and process for preparing the same

Patent number: US4540783
Publication date: 1985-09-10
Inventor: VISCONTINI MAX (CH)
Applicant: KANEGAFUCHI CHEMICAL IND (JP)
Classification:
- international: C07D475/04; A61K31/495
- european: C07D475/04
Application number: US19820441736 19821115
Priority number(s): JP19810182948 19811113

Also published as:

EP0079574 (A1)
JP58083691 (A)
EP0079574 (B1)

Abstract of US4540783

A novel compound, 1',2'-diacyl-(6R,S)-5,6,7,8-tetrahydro-L-biopterin which is prepared by catalytical hydrogenation of a 1',2'-diacyl-L-biopterin in a solvent in the presence of a catalyst. The 1',2'-diacyl-L-biopterin is prepared from a 1,1-dialkylsulfonyl-L-rhamnose through an acyl derivative of 5-deoxy-L-arabinose and a hydrazine derivative of tetrahydro-L-biopterin without isolating the intermediate products. The 1', 2'-diacyl-(6R,S)-5,6,7,8-tetrahydro-L-biopterin can be used for treatment of atypical phenylketonuria or dihydropterin-reductase deficiency and can readily cross the blood brain barrier without neurotransmitter precursors.

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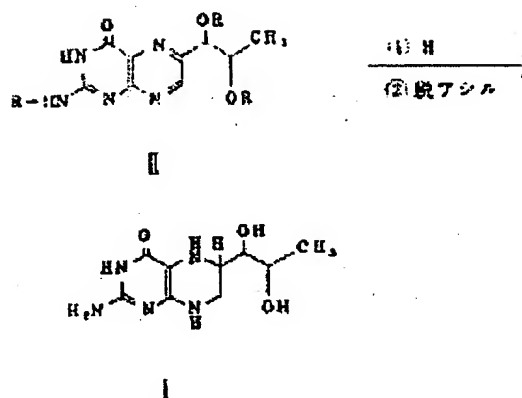
PRODUCTION OF (6R)-TETRAHYDRO-L-BIOPTERIN

Patent number: JP61172877
Publication date: 1986-08-04
Inventor: SAKAI HIDEAKI; others: 01
Applicant: SHIRATORI SEIYAKU KK; others: 01
Classification:
- **international:** C07D475/04; B01J31/28
- **european:**
Application number: JP19850012478 19850128
Priority number(s):

Abstract of JP61172877

PURPOSE: To produce the titled compound in high asymmetric synthesis ratio (R/S) and high yield, by carrying out the catalytic reduction of an L-erythro- bipterin compound using a specific platinum-based catalyst under specific condition in the presence of an amine, and eliminating acyl group, if any.

CONSTITUTION: The objective compound can be produced by reducing L- erythrobipterin or its acyl derivative of formula II (R is H or acyl) catalytically with hydrogen in water, alcohol or their mixture adjusted to 10-13pH with an amine, using a platinum-based catalyst other than platinum black at -10-+50 deg.C under H₂ pressure of $\geq 1 \text{ kg/cm}^2$, and deacylating the reaction product when it contains acyl group. The platinum-based catalyst is e.g. PtO₂, Pt/C, Pt/alumina, etc., and the amine is e.g. ethylamine, trimethylamine, tetramethylammonium hydroxide, etc.
USE: Coenzyme of a phenylalanine hydroxylase and other aromatic amino acid hydroxylase.



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